AMENDMENT

In the Claims

1. (Currently Amended) A compound of formula (1),

$$R_1$$
 R_2
 R_3
 R_3
 R_3

or pharmaceutically acceptable salt or prodrug thereof, wherein

X is a member selected from the group consisting of CH₂. CHF and CF₂:

R is selected from the group consisting of alkylcarbonyl, arylcarbonyl, cyano, heterocyclecarbonyl, $R_4R_5NC(O)$ -, and $B(OR_6)_2$. (1.2.3) dioxoborolane and 4.4.5.5-tetramethyl (1,2,3) dioxoborolane;

R₁ is selected from the group consisting of alkoxyalkyl, alkyl, alkylcarbonyl, alkenyl, alkynyl, allenyl, arylalkyl, cycloalkyl, cycloalkylalkyl, cyano, haloalkyl, haloalkenyl, heterocyclealkyl, and hydroxyalkyl;

R₂ and R₃ are independently selected from the group consisting of hydrogen. alkoxyalkyl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, heterocyclealkyl, and hydoxyalkyl, wherein said heterocycle is further selected from the group consisting of pyrimidine, pyridinyl, and piperidine: of

R₂ and R₃ taken together with the atoms to which they are attached form a mono or bicyclic heterocycle selected from the group consisting of 2-indolinyl. 2-indolyl. 3-isoquinoline. 2-piperazine. 2-piperidine. 2-pyrrolidine. 2-pyrrole. 2-pyridine, 2-quinolinyl. 2-tetrahydroquinolinyl, and 3-tetrahydroisoquinolinyl, wherein said heterocycle may be substituted with 0, 1, 2 or 3 substituents independently selected from alkenyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, alkylcarbonyl, alkylcarbonyl, alkylcarbonyl, alkylcarbonyl, alkylcarbonyl, alkylcarbonyl, alkylcarbonyl, alkylcarbonyl, aryloxy, carboxy, carboxyalkyl, cyano, cyanoalkyl, formyl, halogen, haloalkyl, hydroxy, hydroxyalkyl, mercaptonitro, phenyl, R_AR_BN, R_CR_DNC(O), and R_CR_DNS(O)₂-;

 R_4 , R_5 and R_6 are each independently selected from the group consisting of hydrogen, alkyl, and arylalkyl:

 R_A and R_B are each independently selected from the group consisting of alkyl, alkylcarbonyl, alkoxycarbonyl, alkylsulfonyl; or R_A and R_B taken together with the nitrogen to which they are attached form a ring selected from the group consisting of piperidine, piperazine and morpholine; and

 R_{C} and R_{D} are each independently selected from the group consisting of hydrogen and alkyl.

- (Original) The compound according to claim 1, wherein
 R is cyano.
- (Original) The compound according to claim 1, wherein
 R is cyano: and

R₁ is a member selected from the group consisting of alkyl, alkenyl, and alkynyl.

 (Original) The compound according to claim 1, wherein R is cyano;

R₁ is a member selected from the group consisting of alkyl. alkenyl. and alkynyl; and

R₂ is a member selected from the group consisting of alkoxyalkyl, alkyl, cycloalkyl, cycloalkyl, arylalkyl, and heterocyclealkyl.

(Currently Amended) The compound according to claim 1, whereinR is cyano;

R₁ is a member selected from the group consisting of alkyl, alkenyl, and alkynyl; and

R₂ is a member selected from the group consisting of hydrogen, alkyl, cycloalkyl, and heterocycle <u>further selected from the group consisting of pyrimidine</u>, <u>pyridinyl</u>, and <u>piperidine</u>; and

R₃ is hydrogen.

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6. (Currently Amended) The compounds according to claim 5, that is a member selected from the group consisting of
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(2S.5R)-5-ethynyl-1-L-leucylpyrrolidine-2-carbonitrile:

(2S.5R)-1-((2S)-2-amino-2-cyclopentylethanoyl)-5-ethynylpyrrolidine-2-carbonitrile:

(2S,5R)-1-((2S)-2-amino-2-cyclopentylethanoyl)-5-vinylpyrrolidine-2-carbonitrile;

(2S.5R)-1-((2S)-2-amino-2-cyclohexylethanoyl)-5-ethynylpyrrolidine-2-carbonitrile:

(2S,5S)-5-ethyl-1-L-leucylpyrrolidine-2-carbonitrile;

(2S,5S)-1-((2S)-2-amino-2-cyclohexylethanoyl)-5-ethylpyrrolidine-2-carbonitrile;

(2S.5S)-1-L-leucyl-5-methylpyrrolidine-2-carbonitrile;

(2S.5R)-5-ethynyl-1-L-leucylpyrrolidine-2-carbonitrile;

(2S,5R)-1-((2S)-2-amino-2-cyclopentylethanoyl)-5-ethynylpyrrolidine-2-carbonitrile:

(2S.5R)-1-((2R)-2-amino-2-cyclohexylethanoyl)-5-ethynylpyrrolidine-2-carbonitrile:

(2S.5S)-1-((2S)-2-amino-2-cyclopentylethanoyl)-5-methylpyrrolidine-2-carbonitrile;

(2S,5R)-1-((2S)-2-amino-2-cyclopentylethanoyl)-5-prop-1-ynylpyrrolidine-2-carbonitrile:

(2S.5S)-4.4-difluoro-5-methyl-1-L-valylpyrrolidine-2-carbonitrile:

(2S.5S)-4.4-difluoro-1-L-leucyl-5-methylpyrrolidine-2-carbonitrile:

(2S.5R)-1-((2S)-2-amino-2-cyclohexylethanoyl)-5-vinylpyrrolidine-2-carbonitrile:

(2S.5R)-1-((2R)-2-amino-2-cyclopentylethanoyl)-5-vinylpyrrolidine-2-carbonitrile:

(2S.5R)-5-ethynyl-1-(3-methyl-L-valyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(3-pyridin-4-yl-L-alanyl)pyrrolidine-2-carbonitrile;

(2S.5R)-1-L-leucyl-5-prop-1-ynylpyrrolidine-2-carbonitrile;

(2S.5R)-1-(3-methyl-L-valyl)-5-prop-1-ynylpyrrolidine-2-carbonitrile:

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(2S,5S)-1-L-isoleucyl-5-methylpyrrolidine-2-carbonitrile;
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(2S.5S)-1-(3-cyclopropyl-L-alanyl)-5-methylpyrrolidine-2-carbonitrile;

(2S,5S)-5-methyl-1-L-valylpyrrolidine-2-carbonitrile:

(2S.5S)-5-methyl-1-(4-methyl-L-leucyl)pyrrolidine-2-carbonitrile; and

(2S.5S)-1-(3-cyclohexyl-L-alanyl)-5-methylpyrrolidine-2-carbonitrile.

 (Original) The compound according to claim 1, wherein R is cyano;

 R_1 is a member selected from the group consisting of alkyl, alkenyl. and alkynyl; and

R₂ is hydrogen; and

R₃ is cycloalkyl, wherein cycloalkyl is a member selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cycloheptyl, and cyclooctyl.

8. (Original) The compound according to claim 7, that is a member selected from the group consisting of

(2S.5R)-1-{N-((1R.2R,4S)-bicyclo(2.2.1)hept-2-yl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile:

(2S,5R)-1-{N-((1R,4S)-bicyclo(2.2.1)hept-2-yl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile:

(2S.5R)-1-(N-1-adamantylglycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S.5R)-1-(N-cyclohexylglycyl)-5-ethynylpyrrolidine-2-carbonitrile:

(2S.5R)-5-ethynyl-1-{N-(1-(methoxymethyl)cyclopentyl)glycyl}pyrrolidine-2-carbonitrile:

(2S.5R)-5-ethynyl-1-{N-((2S)-2-hydroxycyclopentyl)glycyl}pyrrolidine-2-carbonitrile:

(2S.5R)-1-(N-cyclopentylglycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S.5R)-5-ethynyl-I-{N-(I-(hydroxymethyl)cyclopentyl)glycyl}pyrrolidine-2-carbonitrile:

(2S.5R)-1-{N-(1-(hydroxymethyl)cyclopentyl)glycyl}-5-prop-1-ynylpyrrolidine-2-carbonitrile:

(2S.5R)-1-(N-cyclopentylglycyl)-5-prop-1-ynylpyrrolidine-2-carbonitrile:

(2S,5S)-1-(N-cyclopentylglycyl)-5-methylpyrrolidine-2-carbonitrile:

(2S.5S)-1-{N-(1-(hydroxymethyl)cyclopentyl)glycyl}-5-methylpyrrolidine-2-carbonitrile:

(2S.5S)-1-{N-((2R,5S)-hexahydro-2,5-methanopentalen-3a(1H)-yl)glycyl}-5-methylpyrrolidine-2-carbonitrile;

 $(2S,5R)-5-ethynyl-1-{N-(1-(1-hydroxy-1-$

methylethyl)cyclopentyl)glycyl}pyrrolidine-2-carbonitrile;

(2S.5R)-5-ethynyl-1-{N-((2R.5S)-hexahydro-2.5-methanopentalen-3a(1H)-yl)glycyl}pyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-cyclopentylglycyl-(N- methyl 1-aminocyclopentanecarboxy)-5-ethynylpyrrolidine-2-carbonitrile;

(2S.5R)-1-(N-cyclopropylglycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S.5R)-5-ethynyl-1- $\{N-((5R,7S)-3-hydroxy-1-adamantyl)glycyl\}$ pyrrolidine-2-carbonitrile;

(2S.5R)-1-(N-cycloheptylglycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S.5R)-1-(N-cyclobutylglycyl)-5-ethynylpyrrolidine-2-carbonitrile:

(2S.5R)-1-(N-cyclobutylglycyl)-5-prop-1-ynylpyrrolidine-2-carbonitrile:

(2S,5R)-1-{N-((2S)-2-hydroxycyclopentyl)glycyl}-5-prop-1-ynylpyrrolidine-2-carbonitrile:

(2S.5S)-5-methyl-1-{N-((1S.2S,3S,5R)-2,6,6-trimethylbicyclo(3.1.1)hept-3-yl)glycyl}pyrrolidine-2-carbonitrile:

(2S,5S)-1-{N-((5R.7S)-3-hydroxy-1-adamantyl)glycyl}-5-methylpyrrolidine-2-carbonitrile:

 $(1S.3R)-3-({2-[(2S.5R)-2-cyano-5-ethynylpyrrolidin-1-yl]-2-}$

oxoethyl}amino)-N-(pyridin-2-ylmethyl)cyclopentanecarboxamide;

 $(1S.3R)-3-({2-[(2S.5R)-2-cyano-5-ethynylpyrrolidin-1-yl]-2-}$

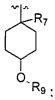
oxoethyl}amino)-N-quinolin-2-ylcyclopentanecarboxamide: and

methyl (1S.3R)-3-({2-[(2S.5R)-2-cyano-5-ethynylpyrrolidin-1-yl]-2-oxoethyl}amino)cyclopentanecarboxylate.

 (Original) The compound according to claim 1, wherein R is cyano, R_1 is a member selected from the group consisting of alkyl, alkenyl, and alkynyl; and

R₂ is hydrogen: and

R₃ is



 R_7 is a member selected from the group consisting of hydrogen and alkyl: and R_9 is a member selected from the group consisting of hydrogen, aryl. and heterocycle.

10. (Original) The compound according to claim 9, that is a member selected from the group consisting of

(2S,5R)-5-ethynyl-1-(N-(4-trans-hydroxycyclohexyl)glycyl)pyrrolidine-2-carbonitrile:

(2S.5R)-5-ethynyl-1-(N-(4- trans-{(4'-fluoro-5-(trifluoromethyl)-1,1'-biphenyl-2-yl)oxy}cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

(2S.5R)-5-ethynyl-1-(N-{4- trans (4-

(trifluoromethoxy)phenoxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(4-hydroxy-1-methylcyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-(1-methyl-4- trans (pyridin-3-

yloxy)cyclohexyl)glycyl}pyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-{4- trans ((5-chloropyridin-3-yl)oxy)cyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile:

(2S.5R)-1-{N-(4- trans (4-cyanophenoxy)cyclohexyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(4- trans {(5-(trifluoromethyl)pyridin-2-yl)oxy}cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

(2S.5R)-5-ethynyl-1-(N-{4- trans (3-pyridin-4-yl-4- (trifluoromethyl)phenoxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S.5R)-5-ethynyl-1- $\{N-(4-trans (pyridin-2-trans (pyr$

yloxy)cyclohexyl)glycyl}pyrrolidine-2-carbonitrile;

(2S.5R)-5-ethynyl-1- $\{N-(1-\text{methyl-4-trans} (5-\text{cyano-pyridin-2-trans})\}$

yloxy)cyclohexyl)glycyl}pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-(4- trans (pyrimidin-2-

yloxy)cyclohexyl)glycyl}pyrrolidine-2-carbonitrile:

(2S.5R)-5-ethynyl-1- $\{N$ -(4- trans (5-cyano-pyridin-2-

yloxy)cyclohexyl)glycyl}pyrrolidine-2-carbonitrile:

(2S,5R)-5-ethynyl-1- $(N-\{4-\text{trans }(4-\text{trans }(4-\text{trans}(4-\text{trans }(4-\text{trans }(4-\text{trans }(4-\text{trans }(4-\text{trans }(4-\text$

(trifluoromethyl)phenoxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S.5R)-5-ethynyl-1- $(N-\{4-((5-fluoropyridin-3-yl)oxy)-1-$

methylcyclohexyl}glycyl)pyrrolidine-2-carbonitrile:

(2S,5R)-5-ethynyl-1-(N-(4- trans (4-carboxy-

phenoxy)cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-{4- trans (2-(2-oxopyrrolidin-1-yl)-4-

(trifluoromethyl)phenoxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile:

(2S.5R)-1-{N-(4- trans (4-cyano-2-methoxyphenoxy)cyclohexyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S.5R)-1-(N-{4- trans ((5-chloropyridin-2-yl)oxy)cyclohexyl}glycyl)-5-

ethynylpyrrolidine-2-carbonitrile:

(2S.5R)-5-ethynyl-1-{N-(1-methyl-4- trans (pyridin-2-

yloxy)cyclohexyl)glycyl}pyrrolidine-2-carbonitrile:

(2S.5R)-5-ethynyl-1-(N-{4- trans ((5-fluoropyridin-3-

yl)oxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S.5R)-1-(N-{4- trans ((5-bromopyridin-2-yl)oxy)cyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-{N-(4- trans (pyridin-3-

yloxy)cyclohexyl)glycyl}pyrrolidine-2-carbonitrile:

(2S.5R)-5-prop-1-ynyl-1-(N-{4-(4-

(trifluoromethyl)phenoxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S.5R)-1-{N-(4- trans (4-cyano-2-fluorophenoxy)cyclohexyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

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(2S,5R)-5-ethynyl-1-{N-(4- trans (3-fluorophenoxy)-1-
  methylcyclohexyl)glycyl}pyrrolidine-2-carbonitrile;
                                (2S,5R)-1-{N-(4- trans (3-cyanophenoxy)cyclohexyl)glycyl}-5-
  ethynylpyrrolidine-2-carbonitrile:
                               (2S,5R)-5-ethynyl-1-(N-(1-methyl-4-{(5-(trifluoromethyl)pyridin-2-
  yl)oxy}cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;
                               (2S,5R)-1-(N-{4- trans ((5-chloropyridin-2-yl)oxy)cyclohexyl}glycyl)-5-
  ethynylpyrrolidine-2-carbonitrile;
                               (2S,5R)-5-ethynyl-1-(N-(4- trans {(4'-fluoro-2-(trifluoromethyl)-1,1'-biphenyl-
 4-yl)oxy}cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;
                               (2S,5R)-5-ethynyl-1-(N-(4- trans {(4'-fluoro-6-(trifluoromethyl)-1,1'-biphenyl-
 3-yl)oxy}cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;
                               (2S,5R)-1-(N-\{4-(3-cyano-4-trans
(trifluoromethyl)phenoxy)cyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile:
                               (2S,5R)-1-{N-(4- trans (3-bromophenoxy)cyclohexyl)glycyl}-5-
ethynylpyrrolidine-2-carbonitrile;
                               (2S,5R)-1-{N-(4- trans (4-cyano-3-fluorophenoxy)cyclohexyl)glycyl}-5-
ethynylpyrrolidine-2-carbonitrile;
                               (2S.5R)-1-(N-\{4-(2-cyano-4-trans
(trifluoromethyl)phenoxy)cyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile;
                               (2S,5R)-1-{N-(4- trans (3-cyanophenoxy)-1-methylcyclohexyl)glycyl}-5-
ethynylpyrrolidine-2-carbonitrile;
                               (2S,5R)-1-{N-(4-trans (4-chlorophenoxy)cyclohexyl)glycyl}-5-
ethynylpyrrolidine-2-carbonitrile;
                               (2S,5R)-5-ethynyl-1-(N-(4- trans {(6-methyl-4-(trifluoromethyl)pyridin-2-
yl)oxy}cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;
                               (2S,5R)-1-(N-\{4-\text{trans }(2-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{cyano-}3-\text{c
(trifluoromethyl)phenoxy)cyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile:
                               (2S,5R)-5-ethynyl-1-(N-{4- trans (4-pyridin-4-yl-3-
(trifluoromethyl)phenoxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile:
                               (2S.5R)-1-(N-\{4-\text{trans }(3-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{cyano-}5-\text{c
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(trifluoromethyl)phenoxy)cyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile:

(2S,5R)-5-ethynyl-1- $\{N-(4-(4-fluorophenoxy)-1-$

methylcyclohexyl)glycyl}pyrrolidine-2-carbonitrile:

(2S.5R)-5-ethynyl-1- $\{N-(4-(3-fluorophenoxy)-1-$

methylcyclohexyl)glycyl}pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(1-methyl-4-{(5-(trifluoromethyl)pyridin-2-

yl)oxy}cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1- $(N-\{4-\text{trans }(3-$

(trifluoromethyl)phenoxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile:

(2S.5R)-1-(N-{4- trans ((3-bromopyridin-2-yl)oxy)cyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S.5R)-5-ethynyl-1-(N-(4- trans {(4-(trifluoromethyl)pyridin-2-yl)oxy}cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

(2S.5R)-1-(N-{4- trans ((5-chloropyridin-2-yl)oxy)-1-methylcyclohexyl}glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S.5R)-1-{N-(4- trans (3-cyanophenoxy)-1-methylcyclohexyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S.5R)-5-ethynyl-1- $(N-\{4-\text{trans }(2-\text{carboxy-}4-$

(trifluoromethyl)phenoxy)cyclohexyl}glycyl)pyrrolidine-2-carbonitrile;

(2S.5R)-1-{N-(4- trans (3-chlorophenoxy)cyclohexyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile:

(2S.5R)-5-ethynyl-1-(N-(1-methyl-4- trans {(5-(trifluoromethyl)pyridin-2-yl)oxy}cyclohexyl)glycyl)pyrrolidine-2-carbonitrile;

(2S.5R)-1-{N-(4- trans (4-bromophenoxy)cyclohexyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S.5R)-1-(N-(4- trans hydroxycyclohexyl)glycyl)-5-prop-1-ynylpyrrolidine-2-carbonitrile;

(2S,5S)-1-(N-(4- trans hydroxycyclohexyl)glycyl)-5-methylpyrrolidine-2-carbonitrile; and

6-{[4-({2-[(2S.5R)-2-cyano-5-ethynylpyrrolidin-1-yl]-2-oxoethyl}amino)-4-methylcyclohexyl]oxy}-N.N-dimethylnicotinamide.

11. (Original) The compound according to claim 1, wherein

R is cyano;

 R_1 is a member selected from the group consisting of alkyl, alkenyl, and alkynyl;

R₂ is hydrogen;

 R_3 is alkyl; wherein the alkyl group of R_3 is optionally substituted with a member of the group consisting of alkoxy, alkoxycarbonyl, alkoxycarbonylNR_a, alkylNR_a, carboxy, and hydroxy; and

R_a is a member selected from the group consisting of hydrogen and alkyl.

- 12. (Original) The compound according to claim 11. that is selected from the group consisting of
- (2S.5R)-5-ethynyl-1-(N-(1,1.3,3-tetramethylbutyl)glycyl)pyrrolidine-2-carbonitrile;
 - (2S.5R)-1-(N-(tert-butyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile;
 - (2S,5R)-1-(N-(1.1-dimethylpropyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile;
- (2S,5R)-5-ethynyl-1-{N-(3-(methylamino)propyl)glycyl}pyrrolidine-2-carbonitrile;
- (2S,5R)-1-(N-(4-tert-butoxycarbonylbutyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile:
- (2S,5R)-5-ethynyl-1-(N-(3-hydroxy-2.2-dimethylpropyl)glycyl)pyrrolidine-2-carbonitrile;
- (2S.5R)-5-ethynyl-1- $\{N$ - $(3-(N-tert-butoxycarbonyl-N-methylamino)propyl)glycyl<math>\}$ pyrrolidine-2-carbonitrile;
 - (2S.5R)-5-ethynyl-1-(N-(4-carboxybutyl)glycyl)pyrrolidine-2-carbonitrile;
- (2S,5R)-5-ethynyl-1-(N-(3-isopropoxypropyl)glycyl)pyrrolidine-2-carbonitrile;
 - $(2S.5S)\hbox{-}1\hbox{-}(N\hbox{-}isopropylglycyl)\hbox{-}5\hbox{-}methylpyrrolidine\hbox{-}2\hbox{-}carbonitrile};$
 - (2S.5S)-1-(N-(tert-butyl)glycyl)-5-methylpyrrolidine-2-carbonitrile; and
 - (2R.5S)-1-[(tert-butylamino)acetyl]pyrrolidine-2.5-dicarbonitrile.
- 13. (Original) The compound according to claim 1, wherein R is cyano;

 $R_{\rm l}$ is a member selected from the group consisting of alkyl, alkenyl. and alkynyl; and

R₂ is hydrogen; and

R₃ is a member selected from the group consisting of aryl and heterocycle; wherein said heterocycle is a member selected from the group consisting of pyrimidine, pyridinyl, and piperidine-azetidinyl, azepanyl, aziridinyl, diazepinyl, 1,3-dioxolanyl, dioxanyl, dithianyl, furyl, imidazolyl, imidazolinyl, imidazolidinyl, isothiazolyl, isothiazolyl, isothiazolidinyl, isothiazolyl, isothiazolidinyl, isothiazolidinyl, isothiazolidinyl, oxadiazolidinyl, oxazolyl, oxazolinyl, morpholinyl, oxadiazolyl, oxadiazolinyl, oxazolyl, pyrazolidinyl, pyrazolidinyl, pyrazolidinyl, pyrazolidinyl, pyrazolidinyl, pyridinyl, pyridinyl, pyridinyl, pyridinyl, pyridinyl, pyridinyl, pyridinyl, tetrahydrofuranyl, tetrahydrothienyl, tetrazinyl, tetrazolyl, thiadiazolyl, thiadiazolinyl, thiadiazolidinyl, thiazolyl, thiazolinyl, thiazolidinyl, thiapyl, triazolyl, and trithianyl.

14. (Original) The compound according to claim 13, that is a member selected from the group consisting of

(2S.5R)-5-ethynyl-1-(N-tetrahydro-2H-pyran-4-ylglycyl)pyrrolidine-2-carbonitrile;

(2S.5R)-5-ethynyl-1-(N-tetrahydrofuran-3-ylglycyl)pyrrolidine-2-carbonitrile; and

(2S.5S)-1-(N-2,3-dihydro-1H-inden-1-ylglycyl)-5-methylpyrrolidine-2-carbonitrile.

15. (Original) The compound according to claim 1. wherein

R is cyano;

 R_1 is a member selected from the group consisting of alkyl, alkenyl, and alkynyl; and

R₂ is hydrogen; and

R₃ is a member selected from the group consisting of arylalkyl and heterocyclealkyl.

16. (Currently Amended) The compound according to claim 15, that is a member selected from the group of

(2S,5R)-5-ethynyl-1-{N-(2-(4-fluorophenyl)-1,1-dimethylethyl)glycyl}pyrrolidine-2-carbonitrile;

 $(2S.5S)-1-\{N-(2-(3.4-dimethoxyphenyl)ethyl)glycyl\}-5-methylpyrrolidine-2-carbonitrile:$

(2S,5R)-5-ethynyl-1-(N-(tetrahydrofuran-2-ylmethyl)glycyl)pyrrolidine-2-carbonitrile:

(2S.5R)-5-ethynyl-1-(N-(pyridin-2-ylmethyl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(2-pyridin-4-ylethyl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1- $\{N$ -((1-tert-butoxycarbonylpiperidin-4-

yl)methyl)glycyl}pyrrolidine-2-carbonitrile: and

(2S,5R)-5-ethynyl-1-(N-(4-iodobenzyl)glycyl)pyrrolidine-2-carbonitrile.

17. (Original) The compound according to claim 1, wherein R is cyano.

R₁ is a member selected from the group consisting of alkyl, alkenyl, and alkynyl:

R₂ is hydrogen:

R₃ is

R₇ is a member selected from the group consisting of hydrogen, alkyl and alkoxyalkyl; and

R₈ is a member selected from the group consisting of hydrogen, alkylcarbonyl, aryl and heterocycle.

18. (Original) The compound according to claim 17, that is a member selected from the group consisting of

(2S,5R)-5-ethynyl-1-(N-(4-methyl-1-pyridin-2-ylpiperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-(4-methyl-1-(3-cyano-pyridin-2-yl)piperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(1-(3-cyano-pyridin-3-yl)piperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1- $\{N$ -(4-methyl-1-(4-carboxy-pyridin-2-yl)piperidin-4-yl)glycyl $\}$ pyrrolidine-2-carbonitrile:

(2S,5R)-5-ethynyl-1-(N-{4-methyl-1-(5-(trifluoromethyl)pyridin-2-yl)piperidin-4-yl}glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(1-(5-chloropyridin-2-yl)-4-methylpiperidin-4-yl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(1-pyridin-2-ylpiperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-{4-methyl-1-(4-(trifluoromethyl)pyrimidin-2-yl)piperidin-4-yl}glycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-(4-methyl-1-(5-carboxy-pyridin-2-yl)piperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2*S*,5*R*)-5-ethynyl-1-(*N*-(4-methyl-1-(5-cyano-pyridin-3-yl)piperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(1-tert-butoxycarbonyl-piperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(1-5-cyano-pyridin-2-ylpiperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(1-(3-cyanophenyl)-4-methylpiperidin-4-yl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-{4-methyl-1-(4-(trifluoromethyl)pyridin-2-yl)piperidin-4-yl}glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-piperidin-4-ylglycyl)pyrrolidine-2-carbonitrile; and

(2S,5R)-5-ethynyl-1-({[4-methyl-1-(5-nitropyridin-2-yl)piperidin-4-yl]amino}acetyl)pyrrolidine-2-carbonitrile; and

(2S,5R)-5-ethynyl-1-[({4-methyl-1-[5-(methylsulfonyl)pyridin-2-yl]piperidin-4-yl}amino)acetyl]pyrrolidine-2-carbonitrile.

19. (Original) The compound according to claim 1, wherein

R is cyano;

R₁ is selected from the group consisting of alkyl, alkenyl, and alkynyl; and

R₂ is hydrogen; and

R₃ is

R₇ is a member selected from the group consisting of hydrogen, alkyl and alkoxyalkyl; and

 R_8 is a member selected from the group consisting of arylcarbonyl and heterocyclecarbonyl.

20. (Currently Amended) The compound according to claim 19, that is a member selected from the group consisting of

(2S,5R)-5-ethynyl-1- $\{N$ -(4-methyl-1-(4-methoxycarbonylbenzoyl)piperidin-4-yl)glycyl $\}$ pyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(1-(4-chlorobenzoyl)piperidin-4-yl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-5-ethynyl-1-(N-(1-isonicotinoyl-4-methylpiperidin-4-yl)glycyl)pyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(1-(4-chlorobenzoyl)-4-methylpiperidin-4-yl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile:

 $(2S,5R)-1-\{N-(1-(4-cyanobenzoyl)-4-methylpiperidin-4-yl)glycyl\}-5-ethynylpyrrolidine-2-carbonitrile; \underline{and}$

(2S,5R)-1-{N-(1-(4-bromobenzoyl)-4-methylpiperidin-4-yl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile.

21. (Currently Amended) The compound according to claim 1, wherein R is cyano:

 $R_{\rm l}$ is a member selected from the group consisting of alkyl, alkenyl, alkynyl, allenyl and cycloalkyl; and

R₂ is hydrogen; and

R₃ is a member selected from the group consisting of aryl-O-alkyl-, aryl-NH-alkyl-, heterocycle-O-alkyl- and heterocycle-NH-alkyl-.

22. (Currently Amended) The compound according to claim 21, that is a member selected from the group consisting of

(2S,5R)-1- $\{N-(1,1-\text{dimethyl-}2-(5-\text{cyano-pyridin-}2-\text{yloxy})\text{ethyl}\}$ -5-ethynylpyrrolidine-2-carbonitrile;

 $(2S,5R)-1-\{N-(1,1-dimethyl-2-(quinolin-4-ylamino)ethyl)glycyl\}-5-ethynylpyrrolidine-2-carbonitrile;$

(2S,5R)-1-{N-(2-(1,3-benzothiazol-2-ylamino)-1,1-dimethylethyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile:

(2S,5R)-1- $(N-\{1,1-\text{dimethyl-}2-((3-\text{cyano-}6-\text{methylpyridin-}2-\text{yl})\text{amino})\text{ethyl}\}$ glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-(1,1-dimethyl-2-{(5-(trifluoromethyl)pyridin-2-yl)oxy}ethyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1- $(N-\{1,1-\text{dimethyl-}2-((3-\text{cyano-}6-\text{methylpyridin-}2-\text{yl})\text{oxy})\text{ethyl}\}$ glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1- $\{N$ -(1,1-dimethyl-2-(3-cyanopyridin-2-ylamino)ethyl)glycyl $\}$ -5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-(1,1-dimethyl-2-{(4-(trifluoromethyl)pyrimidin-2-yl)amino}ethyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1- $\{N$ -(1,1-dimethyl-2-(5-methoxycarbonylpyridin-2-ylamino)ethyl)glycyl $\}$ -5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-{N-(2-(2-cyano-5-fluorophenoxy)-1,1-dimethylethyl)glycyl}-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-(2-{(3-chloro-5-(trifluoromethyl)pyridin-2-yl)amino}ethyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1- $\{N$ -(1,1-dimethyl-2-(5-cyano-pyridin-2-ylamino)ethyl)glycyl $\}$ -5-ethynylpyrrolidine-2-carbonitrile;

(2S,5R)-1-(N-(2-(4-carboxy-anilino)-1,1-dimethylethyl)glycyl)-5-ethynylpyrrolidine-2-carbonitrile; and

(2S,5S)-5-methyl-1- $\{N-(2-(5-cyano-pyridin-2-ylamino)ethyl)glycyl\}$ pyrrolidine-2-carbonitrile.

23. (Currently Amended) The compound according to claim 1, wherein R is cyano; and

R₁ is selected from the group consisting of alkyl, alkenyl, and alkynyl; and

-R₂ and R₃-taken together with the atoms they are attached form a mono or bicyclic heterocycle selected from the group consisting of 3-isoquinoline, 2-pyrrolidinyl, 2-quinolinyl, 2-tetrahydroquinolinyl, and 3-tetrahydroisoquinolinyl.

24. (Currently Amended) The compound according to claim 23. that is a member selected from the group consisting of

(2S,5R)-5-ethynyl-1-((3S)-1,2,3,4-tetrahydroisoquinolin-3-ylcarbonyl)pyrrolidine-2-carbonitrile;

(2S,5S)-4,4-difluoro-5-methyl-1-((5S)-5-methyl-L-prolyl)pyrrolidine-2-carbonitrile:

(2S,5S)-5-methyl-1-((3S)-1,2,3,4-tetrahydroisoquinolin-3-ylcarbonyl)pyrrolidine-2-carbonitrile:

(2S,5S)-5-methyl-1-L-prolylpyrrolidine-2-carbonitrile; and

(2S,5S)-5-methyl-1-((5S)-5-methyl-L-prolyl)pyrrolidine-2-carbonitrile.

25. (Original) A method of treating diabetes, comprising administration of a therapeutically effective amount of a compound of formula (I).

- 26. (Original) A method of treating type II diabetes, comprising administration of a therapeutically effective amount of a compound of formula (I).
- 27. (Original) A method of treating hyperglycemia, comprising administration of a therapeutically effective amount of a compound of formula (I).
- 28. (Original) A method of treating Syndrome X, comprising administration of a therapeutically effective amount of a compound of formula (I).
- 29. (Original) A method of treating hyperisulinemia, comprising administration of a therapeutically effective amount of a compound of formula (I).
- 30. (Original) A method of treating obesity, comprising administration of a therapeutically effective amount of a compound of formula (I).